L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:90856 CAPLUS Full-text
DN 148:190128
TI Antagonist antibody for the treatment of cancer
IN Blanc, Veronique; Fromond, Claudia; Parker, Fabienne; Han, Jiawen; Tavares, Daniel; Zhang, Chonghui; Li, Min; Zhou, Xiao-Mai; Streuli, Michel
PA Sanofi-Aventis, Germany
SO PCT Int. Appl., 134pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008010101	A2	20080124	WO 2007-IB3074	20070713

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

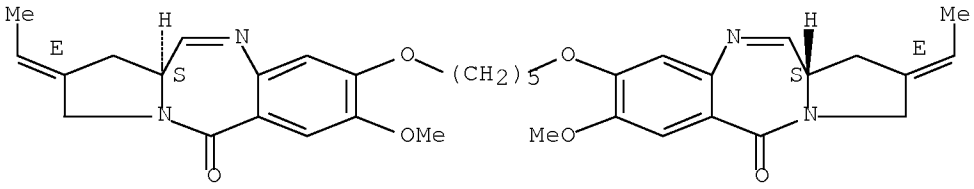
PRAI EP 2006-291160 A 20060718

AB Antibodies, humanized antibodies, resurfaced antibodies, antibody fragments, derivatized antibodies, and conjugates of same with cytotoxic agents, which specifically bind to, and inhibit A class of Eph receptors, antagonize the effects of growth factors on the growth and survival of tumor cells, and which have minimal agonistic activity or are preferentially devoid of agonist activity are described. Said antibodies and fragments thereof may be used in the treatment of tumors that express elevated levels of A class of Eph receptors, such as breast cancer, colon cancer, lung cancer, ovarian carcinoma, synovial sarcoma and pancreatic cancer, and said derivatized antibodies may be used in the diagnosis and imaging of tumors that express elevated levels of A class of Eph receptors. Also provided are cytotoxic conjugates comprising a cell binding agent and a cytotoxic agent, therapeutic compns. comprising the conjugate, methods for using the conjugates in the inhibition of cell growth and the treatment of disease, and a kit comprising the cytotoxic conjugate are disclosed are all embodiments of the invention. In particular, the cell binding agent is a monoclonal antibody, and epitope-binding fragments thereof, that recognizes and binds the A class of Eph receptors.

IT 877659-86-4D, antibody conjugates 945489-88-3D, antibody conjugates 945489-89-4D, antibody conjugates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-EphA2 receptor antibody plus cytotoxic agent for treatment of cancer)

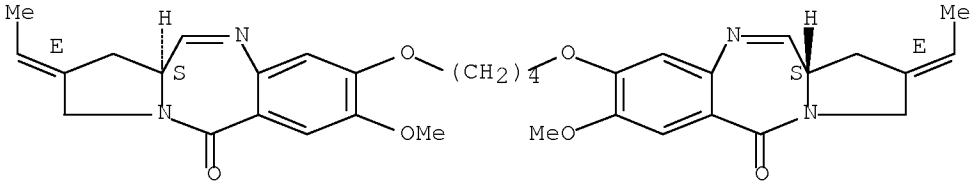
RN 877659-86-4 CAPLUS
CN 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one, 8,8'-[1,5-pentanediylbis(oxy)]bis[2-ethylidene-1,2,3,11a-tetrahydro-7-methoxy-, (2E,2'E,11aS,11'aS)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



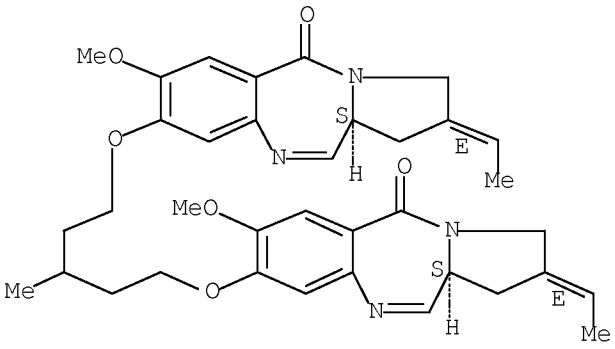
RN 945489-88-3 CAPLUS
CN 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one, 8,8'-[1,4-butanediylbis(oxy)]bis[2-ethylidene-1,2,3,11a-tetrahydro-7-methoxy-, (2E,2'E,11aS,11'aS)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 945489-89-4 CAPLUS
CN 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one, 8,8'-[(3-methyl-1,5-pentanediyl)bis(oxy)]bis[2-ethylidene-1,2,3,11a-tetrahydro-7-methoxy-, (2E,2'E,11aS,11'aS)- (CA INDEX NAME)

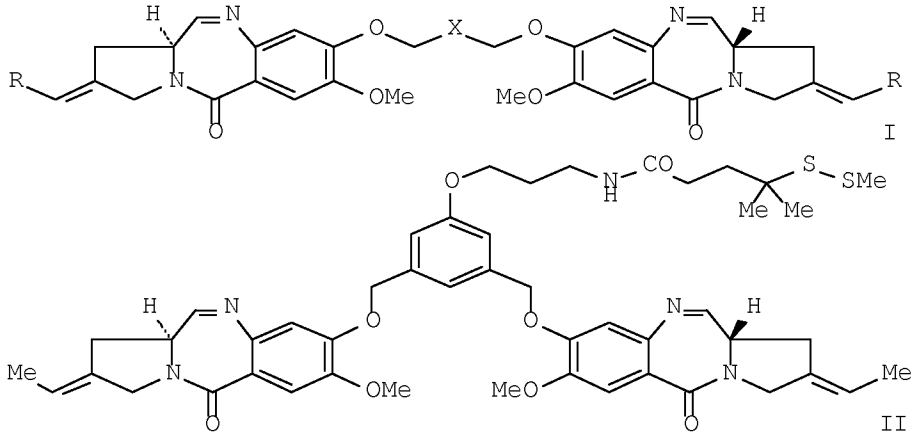
Absolute stereochemistry.
Double bond geometry as shown.



L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:838241 CAPLUS Full-text
DN 147:234915
TI Cytotoxic agents comprising new tomaymycin derivatives and their therapeutic use
IN Gauzy, Laurence; Zhao, Robert; Deng, Yonghong; Li, Wei; Bouchard, Herve; Chari, Ravi V. J.; Commercon, Alain
PA Sanofi-Aventis, Fr.
SO PCT Int. Appl., 173pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007085930	A1	20070802	WO 2007-IB142	20070122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1813614	A1	20070801	EP 2006-290154	20060125
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
PRAI EP 2006-290154	A	20060125		

OS MARPAT 147:234915
GI



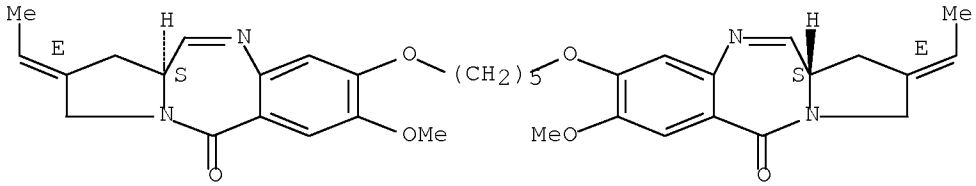
AB Tomaymycin derivs., such as I [R = H, Me; X = alkylene, phenylene, heteroarylene, such as pyridin-2,6-diyl, with or without a heteroalkylene linking group suitable for binding with an antibody], were prepared for therapeutic use as cytotoxic anticancer agents. Thus, tomaymycin derivative II was prepared via a multistep synthetic sequence starting from per-tomaymycin, N-methyl-N-tert-butoxycarbonylpropargylamine, 3,5-bis(methoxycarbonyl)phenyl trifluoromethanesulfonate, and 4-methyl-4-(methyldithio)pentanoic acid. Conjugates of some of the prepared tomaymycin derivs. with antibodies, such as huC242 and huB4, were prepared, and the

tomaymycin derivs. and antibody conjugates were tested in vitro for antitumor cytotoxicity against A549, KB, and MCF7 cancer cells.

IT 877659-86-4P 945489-88-3P 945489-89-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tomaymycin derivs. for therapeutic use as antitumor agents)

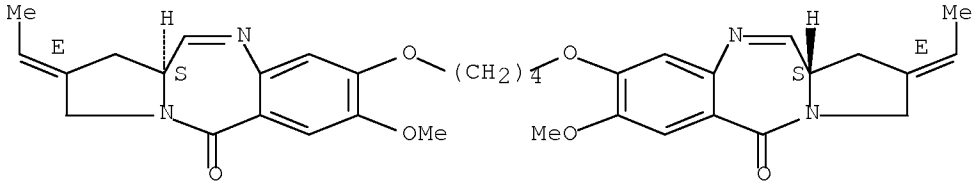
RN 877659-86-4 CAPLUS
CN 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one, 8,8'-[1,5-pentanediylbis(oxy)]bis[2-ethylidene-1,2,3,11a-tetrahydro-7-methoxy-, (2E,2'E,11aS,11'aS)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



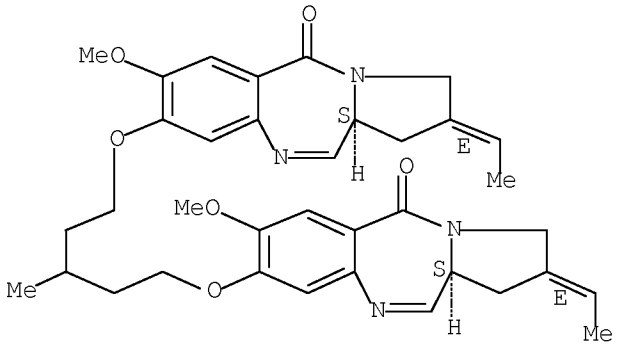
RN 945489-88-3 CAPLUS
CN 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one, 8,8'-[1,4-butanediylbis(oxy)]bis[2-ethylidene-1,2,3,11a-tetrahydro-7-methoxy-, (2E,2'E,11aS,11'aS)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 945489-89-4 CAPLUS
CN 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one, 8,8'-[(3-methyl-1,5-pentanediyl)bis(oxy)]bis[2-ethylidene-1,2,3,11a-tetrahydro-7-methoxy-, (2E,2'E,11aS,11'aS)- (CA INDEX NAME)

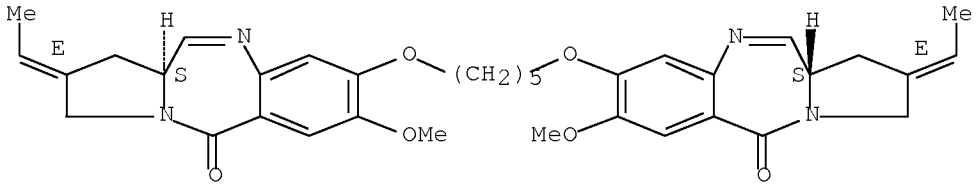
Absolute stereochemistry.
Double bond geometry as shown.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:522395 CAPLUS Full-text
DN 147:25489
TI Interactions of pyrrolobenzodiazepine dimers and duplex DNA from methicillin-resistant Staphylococcus aureus
AU Hadjivassileva, Tsveta; Stapleton, Paul D.; Thurston, David E.; Taylor, Peter W.
CS School of Pharmacy, London, WC1N 1AX, UK
SO International Journal of Antimicrobial Agents (2007), 29(6), 672-678
CODEN: IAAGEA; ISSN: 0924-8579
PB Elsevier B.V.
DT Journal
LA English
AB Binding of two bactericidal pyrrolobenzodiazepine (PBD) dimers, SJG-136 and ELB-21, to genomic DNA from Staphylococcus aureus EMRSA-16 was investigated. Both agents cross-linked purified EMRSA-16 DNA. The more potent agent, ELB-21, had a greater capacity to cross-link DNA after incubation with intact cells than SJG-136. Extensive interstrand crosslinking at multiple sites on the EMRSA-16 genome was demonstrated by probing EcoRI-restricted DNA with mecA and 16S rDNA. Crosslinking was again greater in DNA extracted from ELB-21-treated cells and was compatible with frequency anal. of preferred binding sequences in EMRSA-16 DNA. These studies support the premise that the potency of ELB-21 is due to efficient cell penetration and provide evidence that the antibacterial activity of PBD dimers results from crosslinking at specific genomic sites.
IT 877659-86-4, ELB-21
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(interactions of pyrrolobenzodiazepine dimers and duplex DNA from methicillin-resistant Staphylococcus aureus)
RN 877659-86-4 CAPLUS
CN 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one, 8,8'-[1,5-pentanediylbis(oxy)]bis[2-ethylidene-1,2,3,11a-tetrahydro-7-methoxy-, (2E,2'E,11aS,11'aS)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

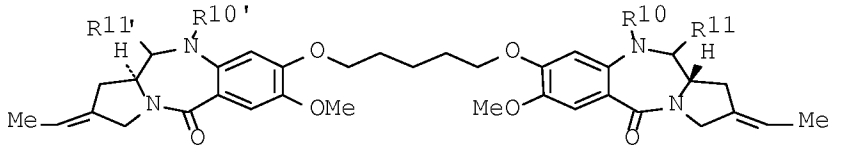


RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

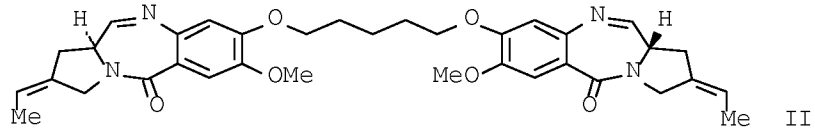
L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1004755 CAPLUS Full-text
DN 143:306350
TI Preparation, DNA crosslinking reactivity, antitumor and antibacterial activity of pyrrolobenzodiazepine dimers
IN Howard, Philip Wilson; Gregson, Stephen John; Taylor, Peter William; Thurston, David Edwin; Hadjivassileva, Tsveta Stepanova
PA Spirogen Limited, UK
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005085260	A1	20050915	WO 2005-GB915	20050309
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1723152	A1	20061122	EP 2005-717979	20050309
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	JP 2007528383	T	20071011	JP 2007-502398	20050309
	US 2007185073	A1	20070809	US 2007-598691	20070214
PRAI	GB 2004-5319	A	20040309		
	GB 2004-12409	A	20040603		
	WO 2005-GB915	W	20050309		

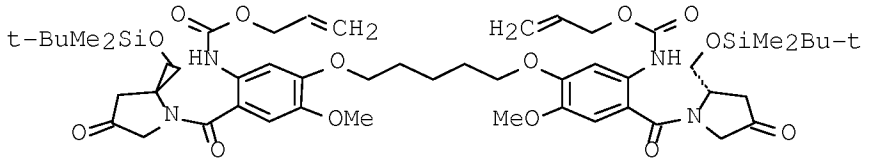
OS CASREACT 143:306350; MARPAT 143:306350
GI



I



II



IV

AB Title compds. I [R10 = N-protecting group; R11 = OH, OR12; R12 = O-protecting group; or R10 and R11 together form a double bond between N10 and C11; R10' = R10; R11' = R11; and their geometrical isomers, salts and solvates] were prepared for use in the manufacture of a medicament for treating gene-based diseases, such as proliferative, and infections by Gram-pos. bacteria. For example, Z-, Z- isomer of II (III) was prepared, in 4 steps, by Wittig reaction of bis-ketone IV with ethyltriphenylphosphonium bromide, tert-butyldimethylsilyl-deprotection, cyclization, and allyloxycarbonyl-deprotection. Pyrrolobenzodiazepine dimer III displayed antitumor potency (IC50 0.05 nM) against K562 human chronic myeloid leukemia cells and crosslinking reactivity (XL50 = 2.7±1.6 nM). Pyrrolobenzodiazepine dimer III showed activity against Gram-pos. bacteria; for example the MIC90 values for III were 0.03 against methicillin resistant Staphylococcus aureus, 0.06 mg/L against vancomycin resistant enterococci and Listeria monoocytogenes, and 0.015 mg/L against Streptococcus pyogenes and Streptococcus agalactiae.

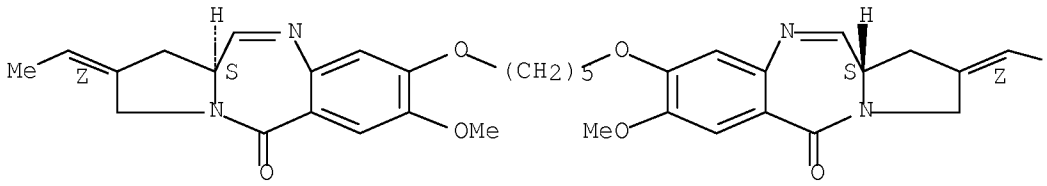
IT 864528-66-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolobenzodiazepine dimers as antiproliferative and antibacterial agents)

RN 864528-66-5 CAPLUS

CN 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one, 8,8'-[1,5-pentanediylbis(oxy)]bis[2-ethylidene-1,2,3,11a-tetrahydro-7-methoxy-, (2Z,2'Z,11aS,11'aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

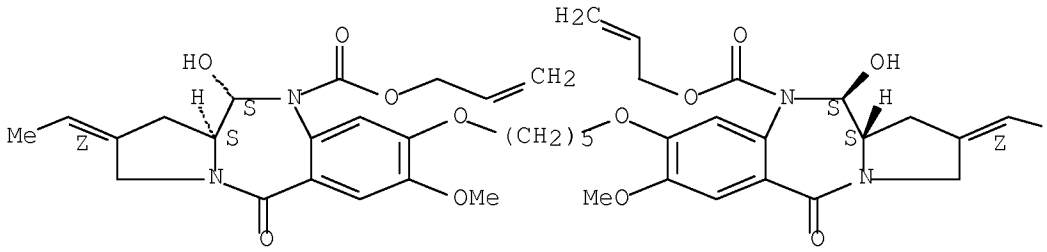
IT 864528-73-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolobenzodiazepine dimers as antiproliferative and antibacterial agents)

RN 864528-73-4 CAPLUS

CN 1H-Pyrrolo[2,1-c][1,4]benzodiazepine-10(5H)-carboxylic acid, 8,8'-[1,5-pentanediylbis(oxy)]bis[2-ethylidene-2,3,11,11a-tetrahydro-11-hydroxy-7-methoxy-5-oxo-, di-2-propenyl ester, (2Z,2'Z,11S,11'S,11aS,11'aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

PAGE 1-A

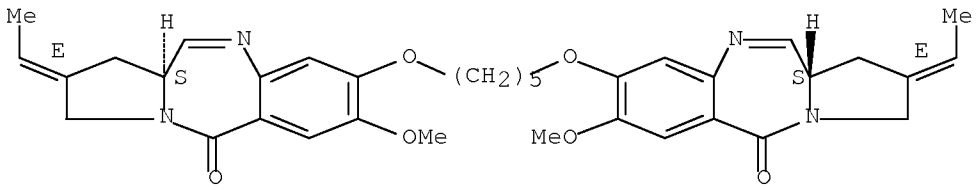


PAGE 1-B

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:995985 CAPLUS Full-text
DN 144:270370
TI Pyrrolobenzodiazepine dimers: Novel sequence-selective, DNA-interactive, cross-linking agents with activity against Gram-positive bacteria
AU Hadjivassileva, Tsveta; Thurston, David E.; Taylor, Peter W.
CS School of Pharmacy, London, WC1N 1AX, UK
SO Journal of Antimicrobial Chemotherapy (2005), 56(3), 513-518
CODEN: JACHDX; ISSN: 0305-7453
PB Oxford University Press
DT Journal
LA English
AB Objectives: Pyrrolo[2,1-c][1,4]benzodiazepine (PBD) dimers are synthetic sequence-selective interstrand DNA minor-groove crosslinking agents developed from anthramycins. We investigated the antibacterial activity of three dimers, SJG-136, DRG-16 and ELB-21, which differ in the structure of the PBD monomeric unit and the length of the linker region between the two identical PBD monomers. Methods: MICs were determined against 38 methicillin-resistant Staphylococcus aureus (MRSA), 20 vancomycin-resistant enterococci (VRE), 12 isolates of Streptococcus pyogenes, 12 of Streptococcus agalactiae, 12 of Listeria monocytogenes and 24 Gram-neg. clin. isolates. Binding to double-stranded DNA was assessed by determination of the DNA melting temperature (Tm). Results: MIC90 values for SJG-136 were 0.5 mg/L against MRSA, VRE and L. monocytogenes, 0.06 mg/L against S. pyogenes and 0.03 mg/L against S. agalactiae; these were below the maximum tolerated dose of the drug. MIC90s for DRG-16 were 0.125, >0.5, 0.125, 0.015 and <0.008 mg/L, resp. The most potent compound was ELB-21, with corresponding MIC90 values of 0.03, 0.06, 0.06, 0.015 and 0.015 mg/L. There was little or no variation in sensitivity amongst isolates from any one species. All Gram-neg. species (Acinetobacter, Pseudomonas, Klebsiella, Proteus spp.) were not susceptible due to the barrier function of the outer membrane. PBD dimers showed bactericidal activity against MRSA and VRE and there was a significant post-antibiotic effect (1.5-3.5 h). Incubation of EMRSA-16 genomic DNA (50 μM) with 20 μM ELB-21 resulted in a large increase in Tm suggesting that PBD dimers exert their antibacterial effect by crosslinking of the two DNA strands. Conclusions: These data indicate that this novel class of antibacterial agents warrants further investigation as potential antibiotics for the treatment of severe infections caused by Gram-pos. pathogens.
IT 877659-86-4, ELB 21
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(pyrrolobenzodiazepine dimers as novel sequence-selective, DNA-interactive, crosslinking agents with activity against Gram-pos. bacteria)
RN 877659-86-4 CAPLUS
CN 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one, 8,8'-[1,5-pentanediylbis(oxy)]bis[2-ethylidene-1,2,3,11a-tetrahydro-7-methoxy-, (2E,2'E,11aS,11'aS)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 1 OF 2 MARPAT COPYRIGHT 2008 ACS on STN
AN 143:472562 MARPAT Full-text
TI Antitumor Pyrrolobenzodiazepine for the treatment of Leukemia
IN Pepper, Christopher John; Thurston, David Edwin
PA Spirogen Limited, UK
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005110423	A2	20051124	WO 2005-GB1881	20050513
	WO 2005110423	A3	20060119		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

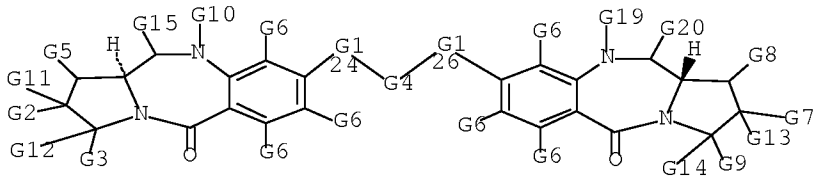
	EP 1755612	A2	20070228	EP 2005-744802	20050513

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

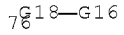
PRAI GB 2004-10725 20040513
WO 2005-GB1881 20050513

AB A pyrrolobenzodiazepine dimer compound, SJG-136 for the treatment of drug resistant leukemia is provided.

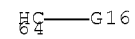
MSTR 1



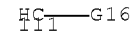
G1 = O
G4 = carbon chain <containing 3-12 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.)
G6 = 76



G16 = carbon chain <containing 1-12 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.)
G18 = O
G2 +G11= 64



G7 +G13= 111

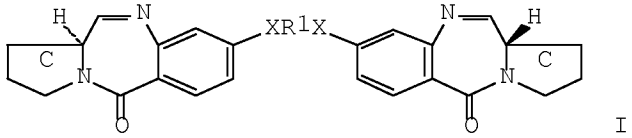


Patent location: claim 1
Note: or pharmaceutically acceptable salts or solvates
Note: additional heteroatom interruption also claimed

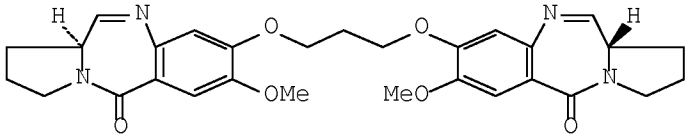
L8 ANSWER 2 OF 2 MARPAT COPYRIGHT 2008 ACS on STN
AN 120:270468 MARPAT Full-text
TI Anticancer pyrrolo[2,1-c][1,4]benzodiazepines
IN Thurston, David Edwin; Bose, Deverakonda Subhas
PA Cancer Research Campaign Technology Ltd., UK
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9318045	A1	19930916	WO 1993-GB483	19930308
	W: AU, CA, JP, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	ZA 9301637	A	19931004	ZA 1993-1637	19930308
	AU 9336435	A	19931005	AU 1993-36435	19930308
PRAI	GB 1992-5051		19920309		
	WO 1993-GB483		19930308		

GI



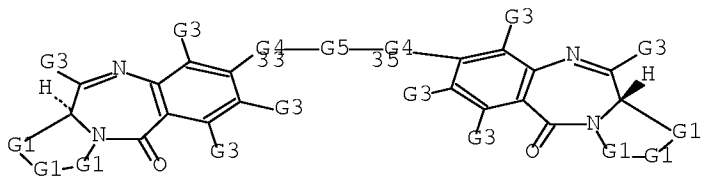
I



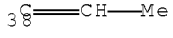
II

AB The title compds. I [R1 = (un)substituted C3-12 alkylene; X = O, S, NH; the pyrrolobenzodiazepine ring may contain addnl. substituents in ≥1 of the 1, 2, 3, 6, 7, 9, and 11 positions and the C rings may optionally contain ≥1 addnl. hetero ring atom], which are capable of crosslinking double-stranded DNA and which are useful as anticancer agents, are prepared Thus, pyrrolobenzodiazepine II, prepared from vanillic acid in 7 steps, demonstrated 50% inhibitory concentration against L1210 mouse leukemia cells of 0.01 μM and against ADJ/PC6 mouse plasma plasmacytoma of 0.0005 μM.

MSTR 1A

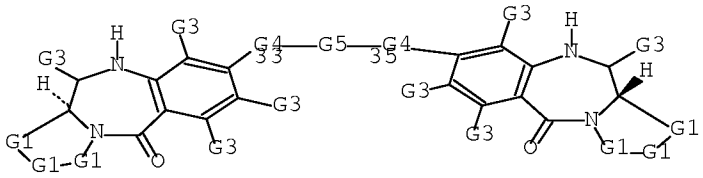


G1 = CH2 / 38

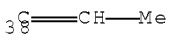


G3 = OMe
G4 = O
G5 = alkylene <containing 3-12 C>
Patent location: claim 1

MSTR 1B

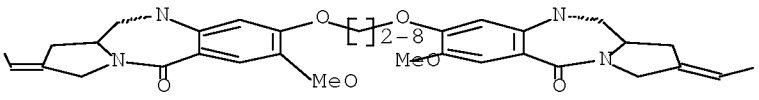


G1 = CH2 / 38



G3 = OMe
G4 = O
G5 = alkylene <containing 3-12 C>
Patent location: claim 1

```
=> d l2; d his; log y
L2 HAS NO ANSWERS
L1              STR
```




```
Structure attributes must be viewed using STN Express query preparation.
L2              QUE  ABB=ON  PLU=ON  L1

     (FILE 'REGISTRY' ENTERED AT 14:31:03 ON 15 MAR 2008)
                DEL HIS Y
L1              STRUCTURE UPLOADED
L2              QUE L1
L3            2 S L2
L4            5 S L2 FUL

     FILE 'CAPLUS' ENTERED AT 14:32:57 ON 15 MAR 2008
L5            5 S L4

     FILE 'MARPAT' ENTERED AT 14:33:46 ON 15 MAR 2008
L6            0 S L4
L7            4 S L4 FUL
L8            2 S L7 NOT L5


COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 75.18     282.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                 -1.50      -5.50

STN INTERNATIONAL LOGOFF AT 14:34:39 ON 15 MAR 2008
```